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Grapiprant

National Cancer Institute

Source

National Cancer Institute. *Grapiprant*. NCI Thesaurus. Code C124131.

An orally bioavailable antagonist of the prostaglandin E receptor subtype 4 (EP4), with potential analgesic, immunomodulating and antineoplastic activities. Upon administration of grapiprant, this agent selectively binds to and inhibits the binding of prostaglandin E2 (PGE2) and prevents the activation of the EP4 receptor. This inhibits PGE2-EP4 receptor-mediated signaling and prevents proliferation in tumor cells in which the PGE2-EP4 signaling pathway is over-activated. In addition, EP4 receptor inhibition modulates the immune system by preventing both interleukin-23 (IL-23) production and the IL-23-mediated expansion of Th17 cells. As EP4 is expressed by peripheral sensory neurons, blockade of EP4-mediated signaling may induce an analgesic effect. EP4, a prostanoid receptor subtype, is a G protein-coupled receptor that is expressed in certain types of cancers; it promotes tumor cell proliferation and invasion.